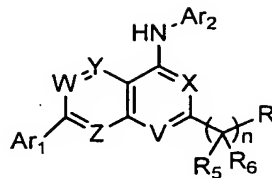


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

X, V, W, Y and Z are each independently N or CR₁, with the proviso that at least one of V and X is N;

R₁ is independently selected at each occurrence from hydrogen, halogen, hydroxy, cyano, amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₁-C₄alkoxycarbonyl and mono- and di-(C₁-C₆alkyl)amino;

R is -O-R₇ or -N(R₃)(R₄);

R₇ is:

- (i) hydrogen;
- (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkanoyl, C₃-C₈alkanone, C₂-C₈alkyl ether, C₆-C₁₀arylC₀-C₈alkyl or (5- to 10-membered heterocycle)C₀-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b; or
- (iii) taken together with an R₅ or R₆ to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b;

R₃ and R₄ are:

- (i) each independently selected from:
 - (a) hydrogen;
 - (b) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈alkanone, C₂-C₈alkanoyl, C₂-C₈alkyl ether, C₆-C₁₀arylC₀-C₈alkyl, (5- to 10-membered heterocycle)C₀-C₈alkyl and -(SO₂)C₁-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b; and
 - (c) groups that are taken together with an R₅ or R₆ to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b; or
- (ii) taken together to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b;

R₅ and R₆ are, independently at each occurrence:

- (i) each independently hydrogen, C₁-C₈alkyl substituted with from 0 to 2 substituents independently chosen from R_b, or taken together with R₃, R₄ or R₇ to form a 4- to 10-membered heterocyclic group that is substituted with from 0 to 4 substituents independently chosen from R_b;
- (ii) taken together to form a keto group; or
- (iii) taken together to form a 3- to 7-membered carbocyclic or heterocyclic ring that is substituted with from 0 to 4 substituents independently chosen from R_b;

n is 1, 2 or 3;

Ar₁ and Ar₂ are independently selected from 6- to 10-membered aryl groups and 5- to 10-membered heterocycles, each of which is substituted with from 0 to 3 substituents independently selected from groups of the formula LR_a;

L is independently selected at each occurrence from a bond, O, S(O)_m, C(=O), OC(=O), C(=O)O, O-C(=O)O, N(R_x), C(=O)N(R_x), N(R_x)C(=O), N(R_x)S(O)_m, S(O)_mN(R_x) and N[S(O)_mR_x]S(O)_m; wherein m is independently selected at each occurrence from 0, 1 and 2; and R_x is independently selected at each occurrence from hydrogen and C₁-C₈alkyl;

R_a is independently selected at each occurrence from: (i) hydrogen, halogen, cyano and nitro; and (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkyl ether, (4- to 10-membered heterocycle)C₀-C₈alkyl and mono- and di-(C₁-C₈alkyl)amino, each of which is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, amino, cyano, nitro, oxo, -COOH, C₁-C₄alkyl, C₁-C₄alkoxy, haloC₁-C₄alkyl, haloC₁-C₄alkoxy, hydroxyC₁-C₄alkyl, and mono- and di-(C₁-C₆alkyl)amino; and

R_b is independently chosen at each occurrence from:

- (i) hydroxy, halogen, amino, aminocarbonyl, cyano, nitro, oxo and -COOH; and
- (ii) C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkanoyl, C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₂-C₈alkyl ether, phenylC₀-C₈alkyl, phenylC₀-C₈alkoxy, mono- and di-(C₁-C₆alkyl)aminoC₀-C₆alkyl, -(SO₂)C₁-C₈alkyl and (4- to 7-membered heterocycle)(C₀-C₈alkyl); each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C₁-C₄alkyl, C₁-C₄alkoxy, hydroxyC₁-C₄alkyl, haloC₁-C₄alkyl, and mono- and di-(C₁-C₄alkyl)amino.

2. A compound or form thereof according to claim 1, wherein V and X are N.
3. A compound or form thereof according to claim 1, wherein V is N and X is CH.
4. A compound or form thereof according to claim 1, wherein X is N and V is CH.
5. A compound or form thereof according to any one of claims 1-4, wherein Y is N and W and Z are each CH.
6. A compound or form thereof according to any one of claims 1-4, wherein Z is N and W and Y are each CH.
7. A compound or form thereof according to any one of claims 1-4, wherein W, Y and Z are each CH.
8. A compound or form thereof according to claim 1, wherein Ar₁ and Ar₂ are independently selected from phenyl and 6-membered aromatic heterocycles, each of which is substituted with 0, 1 or 2 substituents independently selected from groups of the formula LR_a.
9. A compound or form thereof according to claim 8, wherein:
Ar₁ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy and haloC₁-C₆alkoxy; and
Ar₂ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, cyanoC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₂-C₆alkyl ether, C₁-C₆alkanoyl, -(SO₂)R_d, - N(R_x)S(O)_mR_d, and - N[S(O)_mR_x]S(O)_mR_d; wherein m is 1 or 2, R_x is hydrogen or C₁-C₆alkyl, and R_d is C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- or di-(C₁-C₆alkyl)amino or a 5- to 10-membered, N-linked heterocyclic group, each of which R_d is substituted with from 0 to 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy.

10. A compound or form thereof according to claim 9, wherein:

Ar₁ is pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

11. A compound or form thereof according to claim 9, wherein:

Ar₁ is phenyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

12. A compound or form thereof according to claim 9, wherein:

Ar₁ is pyridin-2-yl, 3-methyl-pyridin-2-yl, 3-trifluoromethyl-pyridin-2-yl or 3-halo-pyridin-2-yl; and

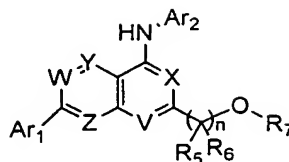
Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

13. A compound or form thereof according to claim 9, wherein:

Ar₁ is phenyl, 2-methyl-phenyl, 2-trifluoromethyl-phenyl or 2-halo-phenyl; and

Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

14. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

V, X, W, Y and Z are each independently N or CR₁, with the proviso that at least one of V and X is N;

R₁ is independently selected at each occurrence from hydrogen, halogen, hydroxy, cyano, amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₁-C₄alkoxycarbonyl and mono- and di-(C₁-C₆alkyl)amino;

R₇ is:

- (i) hydrogen;
- (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkanoyl, C₃-C₈alkanone, C₂-C₈alkyl ether, C₆-C₁₀arylC₀-C₈alkyl or (5- to 10-membered heterocycle)C₀-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b; or
- (iii) taken together with an R₅ or R₆ to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b;

R₅ and R₆ are, independently at each occurrence:

- (i) each independently hydrogen, C₁-C₈alkyl substituted with from 0 to 2 substituents independently chosen from R_b, or taken together with R₇ to form a 4- to 10-membered heterocyclic group that is substituted with from 0 to 4 substituents independently chosen from R_b;
- (ii) taken together to form a keto group; or
- (iii) taken together to form a 3- to 7-membered carbocyclic or heterocyclic ring that is substituted with from 0 to 4 substituents independently chosen from R_b;

n is 1, 2 or 3;

Ar₁ and Ar₂ are independently selected from 6- to 10-membered aryl groups and 5- to 10-membered heterocycles, each of which is substituted with from 0 to 3 substituents independently selected from groups of the formula LR_a;

L is independently selected at each occurrence from a bond, O, S(O)_m, C(=O), OC(=O), C(=O)O, O-C(=O)O, N(R_x), C(=O)N(R_x), N(R_x)C(=O), N(R_x)S(O)_m, S(O)_mN(R_x) and N[S(O)_mR_x]S(O)_m; wherein m is independently selected at each occurrence from 0; 1 and 2; and R_x is independently selected at each occurrence from hydrogen and C₁-C₈alkyl;

R_a is independently selected at each occurrence from: (i) hydrogen, halogen, cyano and nitro; and (ii) C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_2 - C_8 alkyl ether, (4- to 10-membered heterocycle) C_0 - C_8 alkyl and mono- and di- $(C_1$ - C_8 alkyl)amino, each of which is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, amino, cyano, nitro, oxo, $-COOH$, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halo C_1 - C_4 alkyl, halo C_1 - C_4 alkoxy, hydroxy C_1 - C_4 alkyl, and mono- and di- $(C_1$ - C_6 alkyl)amino; and

R_b is independently chosen at each occurrence from:

- (i) hydroxy, halogen, amino, aminocarbonyl, cyano, nitro, oxo and $-COOH$; and
- (ii) C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, C_1 - C_8 alkanoyl, C_2 - C_8 alkoxycarbonyl, C_2 - C_8 alkanoyloxy, C_1 - C_8 alkylthio, C_2 - C_8 alkyl ether, phenyl C_0 - C_8 alkyl, phenyl C_0 - C_8 alkoxy, mono- and di- $(C_1$ - C_6 alkyl)amino C_0 - C_6 alkyl, $-(SO_2)C_1$ - C_8 alkyl and (4- to 7-membered heterocycle) $(C_0$ - C_8 alkyl); each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, hydroxy C_1 - C_4 alkyl, halo C_1 - C_4 alkyl, and mono- and di- $(C_1$ - C_4 alkyl)amino.

15. A compound or form thereof according to claim 14, wherein V and X are N.
16. A compound or form thereof according to claim 14, wherein V is N and X is CH.
17. A compound or form thereof according to claim 14, wherein X is N and V is CH.
18. A compound or form thereof according to any one of claims 14-17, wherein Y is N and W and Z are each CH.
19. A compound or form thereof according to any one of claims 14-17, wherein Z is N and W and Y are each CH.
20. A compound or form thereof according to any one of claims 14-17, wherein W, Y and Z are each CH.
21. A compound or form thereof according to claim 14, wherein Ar_1 and Ar_2 are independently selected from phenyl and 6-membered aromatic heterocycles, each of which is substituted with 0, 1 or 2 substituents independently selected from groups of the formula LR_a .

22. A compound or form thereof according to claim 21, wherein:

Ar₁ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy and haloC₁-C₆alkoxy; and
Ar₂ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, cyanoC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₂-C₆alkyl ether, C₁-C₆alkanoyl, -(SO₂)R_d, N(R_x)S(O)_mR_d, and -N[S(O)_mR_x]S(O)_mR_d; wherein m is 1 or 2, R_x is hydrogen or C₁-C₆alkyl, and R_d is C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- or di-(C₁-C₆alkyl)amino or a 5- to 10-membered, N-linked heterocyclic group, each of which R_d is substituted with from 0 to 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy.

23. A compound or form thereof according to claim 22, wherein:

Ar₁ is pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and
Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₆alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

24. A compound or form thereof according to claim 22, wherein:

Ar₁ is phenyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and
Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₆alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

25. A compound or form thereof according to claim 22, wherein:

Ar₁ is pyridin-2-yl, 3-methyl-pyridin-2-yl, 3-trifluoromethyl-pyridin-2-yl or 3-halo-pyridin-2-yl; and
Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl,

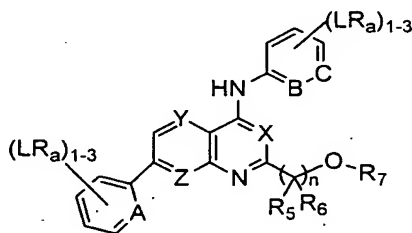
propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

26. A compound or form thereof according to claim 22, wherein:

Ar₁ is phenyl, 3-methyl-phenyl, 3-trifluoromethyl-phenyl or 3-halo-phenyl; and

Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

27. A compound or form thereof according to claim 14, having the formula:



wherein A, B, C, X, Y and Z are each independently CH or N; and wherein each "(LR_a)₁₋₃" represents from 1 to 3 substituents independently chosen from groups of the formula LR_a.

28. A compound or form thereof according to claim 27, wherein X is CH.

29. A compound or form thereof according to claim 27, wherein X is N.

30. A compound or form thereof according to claim 14 or claim 27, wherein R₇ is:

(i) hydrogen; or

(ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkanoyl, C₃-C₈alkanone, C₂-C₈alkyl ether, C₆-C₁₀arylC₀-C₈alkyl or (5- to 10-membered heterocycle)C₀-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b.

31. A compound or form thereof according to claim 30, wherein R₇ is:

(i) hydrogen; or

(ii) C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkanoyl, C₂-C₆alkyl ether, mono- or di-(C₁-C₆alkyl)aminoC₁-C₆alkyl, phenylC₀-C₄alkyl, (5- to 6-membered heteroaryl)C₀-C₄alkyl or (5- to 7-membered heterocycloalkyl)C₀-C₄alkyl, each of which is

substituted with from 0 to 4 substituents independently chosen from hydroxy, halogen, amino, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy.

32. A compound or form thereof according to claim 30, wherein R₇ is C₁-C₄alkyl, C₂-C₄alkyl ether, mono- or di-(C₁-C₄alkyl)aminoC₁-C₆alkyl, a 6-membered heterocycle or benzyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen and C₁-C₄alkyl.

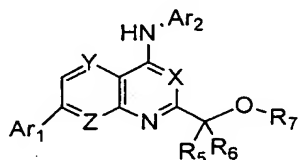
33. A compound or form thereof according to claim 14 or claim 27, wherein each R₅ and R₆ is independently selected from hydrogen and C₁-C₄alkyl.

34. A compound or form thereof according to claim 33, wherein each R₅ and R₆ is hydrogen.

35. A compound or form thereof according to claim 14 or claim 27, wherein one R₅ and one R₆ attached to the same carbon atom are taken together to form a keto group.

36. A compound or form thereof according to claim 14 or claim 27, wherein n is 1.

37. A compound or form thereof according to claim 14, having the formula:



wherein:

X, Y and Z are independently CH or N;

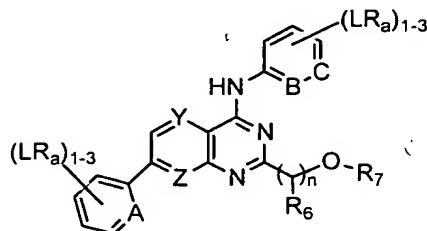
Ar₁ is phenyl or pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl;

Ar₂ is phenyl or pyridyl, unsubstituted or substituted with C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether or a group of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl;

R₅ and R₆ are independently selected from hydrogen and C₁-C₄alkyl; and

R₇ is (a) hydrogen; or (b) C₁-C₆alkyl, C₂-C₆alkenyl or phenylC₀-C₄alkyl, each of which is substituted with 0, 1 or 2 substituents independently selected from hydroxy, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl.

38. A compound or form thereof according to claim 27, having the formula:



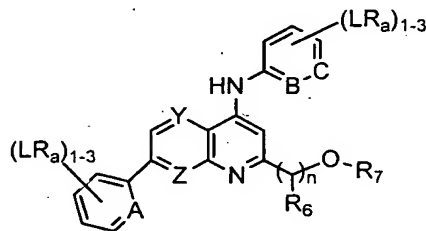
wherein:

A, B, C, Y and Z are each independently CH or N;

R₇ is (a) hydrogen; or (b) C₁-C₆alkyl, C₂-C₆alkenyl or phenylC₀-C₄alkyl, each of which is substituted with 0, 1 or 2 substituents independently chosen from hydroxy, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; and

each R₆ is independently hydrogen or methyl.

39. A compound or form thereof according to claim 27, having the formula:



wherein:

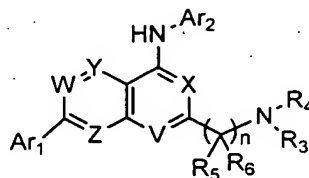
A, B, C, Y and Z are each independently CH or N;

R₇ is (a) hydrogen; or (b) C₁-C₆alkyl, C₂-C₆alkenyl or phenylC₀-C₄alkyl, each of which is substituted with 0, 1 or 2 substituents independently chosen from hydroxy, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; and

each R₆ is independently hydrogen or methyl.

40. A compound or form thereof according to claim 14, wherein the compound is selected from compounds listed in Table II.

41. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

V, X, W, Y and Z are each independently N or CR₁, with the proviso that at least one of V and X is N;

R₁ is independently selected at each occurrence from hydrogen, halogen, hydroxy, cyano, amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₁-C₄alkoxycarbonyl and mono- and di-(C₁-C₆alkyl)amino;

R₃ and R₄ are:

(i) each independently selected from:

(a) hydrogen;

(b) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈alkanone, C₂-C₈alkanoyl, C₂-C₈alkyl ether, (C₆-C₁₀aryl)C₀-C₈alkyl, (5- to 10-membered heterocycle)C₀-C₈alkyl and -(SO₂)C₁-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b; and

(c) groups that are taken together with an R₅ or R₆ to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b; or

(ii) taken together to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently chosen from R_b;

R₅ and R₆ are, independently at each occurrence:

(i) each independently hydrogen, C₁-C₈alkyl substituted with from 0 to 2 substituents independently chosen from R_b, or taken together with R₃ or R₄ to form a 4- to 10-membered heterocyclic group that is substituted with from 0 to 4 substituents independently chosen from R_b;

(ii) taken together to form a keto group; or

(iii) taken together to form a 3- to 7-membered carbocyclic or heterocyclic ring that is substituted with from 0 to 4 substituents independently chosen from R_b;

n is 1, 2 or 3;

Ar₁ and Ar₂ are independently selected from 6- to 10-membered aryl groups and 5- to 10-membered heterocycles, each of which is substituted with from 0 to 3 substituents independently selected from groups of the formula LR_a;

L is independently selected at each occurrence from a bond, O, S(O)_m, C(=O), OC(=O), C(=O)O, O-C(=O)O, N(R_x), C(=O)N(R_x), N(R_x)C(=O), N(R_x)S(O)_m, S(O)_mN(R_x) and N[S(O)_mR_x]S(O)_m; wherein m is independently selected at each occurrence from 0, 1 and 2; and R_x is independently selected at each occurrence from hydrogen and C₁-C₈alkyl;

R_a is independently selected at each occurrence from: (i) hydrogen, halogen, cyano and nitro; and (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₂-C₈alkyl ether, (4- to 10-membered heterocycle)C₀-C₈alkyl and mono- and di-(C₁-C₈alkyl)amino, each of which is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, amino, cyano, nitro, oxo, -COOH, C₁-C₄alkyl, C₁-C₄alkoxy, haloC₁-C₄alkyl, haloC₁-C₄alkoxy, hydroxyC₁-C₄alkyl, and mono- and di-(C₁-C₆alkyl)amino; and

R_b is independently chosen at each occurrence from:

(i) hydroxy, halogen, amino, aminocarbonyl, cyano, nitro, oxo and -COOH; and

(ii) C₁-C₈alkyl, C₁-C₈haloalkyl, C₁-C₈alkoxy, C₁-C₈haloalkoxy, C₁-C₈alkanoyl, C₂-C₈alkoxycarbonyl, C₂-C₈alkanoyloxy, C₁-C₈alkylthio, C₂-C₈alkyl ether, phenylC₀-C₈alkyl, phenylC₀-C₈alkoxy, mono- and di-(C₁-C₆alkyl)aminoC₀-C₆alkyl, -(SO₂)C₁-C₈alkyl and (4- to 7-membered heterocycle)(C₀-C₈alkyl); each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C₁-C₄alkyl, C₁-C₄alkoxy, hydroxyC₁-C₄alkyl, haloC₁-C₄alkyl, and mono- and di-(C₁-C₄alkyl)amino.

42. A compound or form thereof according to claim 41, wherein V and X are N.

43. A compound or form thereof according to claim 41, wherein V is N and X is CH.

44. A compound or form thereof according to claim 41, wherein X is N and V is CH.

45. A compound or form thereof according to any one of claims 41-44, wherein Y is N and W and Z are each CH.

46. A compound or form thereof according to any one of claims 41-44, wherein Z is N and W and Y are each CH.

47. A compound or form thereof according to any one of claims 41-44, wherein W, Y and Z are each CH.

48. A compound or form thereof according to claim 41, wherein Ar₁ and Ar₂ are independently selected from phenyl and 6-membered aromatic heterocycles, each of which is substituted with 0, 1 or 2 substituents.

49. A compound or form thereof according to claim 48, wherein:

Ar₁ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy and haloC₁-C₆alkoxy; and

Ar₂ is phenyl or pyridyl, each of which is substituted with from 0 to 2 substituents independently selected from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkyl, haloC₁-C₆alkyl, cyanoC₁-C₆alkyl, C₁-C₆alkoxy, haloC₁-C₆alkoxy, C₂-C₆alkyl ether, C₁-C₆alkanoyl, -(SO₂)R_d, - N(R_x)S(O)_mR_d, and - N[S(O)_mR_x]S(O)_mR_d; wherein m is 1 or 2, R_x is hydrogen or C₁-C₆alkyl, and R_d is C₁-C₆alkyl, haloC₁-C₆alkyl, amino, mono- or di-(C₁-C₆alkyl)amino or a 5- to 10-membered, N-linked heterocyclic group, each of which R_d is substituted with from 0 to 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, mono- and di-(C₁-C₆alkyl)amino, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy and haloC₁-C₄alkoxy.

50. A compound or form thereof according to claim 49, wherein:

Ar₁ is pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

51. A compound or form thereof according to claim 49, wherein:

Ar₁ is phenyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl; and

Ar₂ is phenyl or pyridyl, substituted with from 0 to 2 substituents independently chosen from halogen, C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether and groups of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl.

52. A compound or form thereof according to claim 49, wherein:

Ar₁ is pyridin-2-yl, 3-methyl-pyridin-2-yl, 3-trifluoromethyl-pyridin-2-yl or 3-halo-pyridin-2-yl; and

Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl,

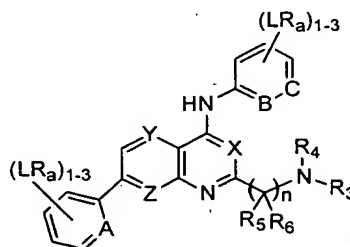
propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

53. A compound or form thereof according to claim 49, wherein:

Ar₁ is phenyl, 2-methyl-phenyl, 2-trifluoromethyl-phenyl or 2-halo-phenyl; and

Ar₂ is phenyl, pyridin-2-yl or pyridin-3-yl, each of which is substituted at the *para*-position with halogen, cyano, methyl, ethyl, propyl, isopropyl, *t*-butyl, trifluoromethyl, 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methyl-ethyl, methanesulfonyl, ethanesulfonyl, propanesulfonyl, propane-2-sulfonyl, trifluoromethanesulfonyl or 2,2,2-trifluoroethanesulfonyl.

54. A compound or form thereof according to claim 30, having the formula:



wherein A, B, C, Y and Z are each independently CH or N, and wherein each "(LR_a)₁₋₃" represents from 1 to 3 substituents independently chosen from groups of the formula LR_a.

55. A compound or form thereof according to claim 41 or 54, wherein R₃ and R₄ are independently selected from (i) hydrogen and (ii) C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈alkanone, C₁-C₈alkanoyl, C₂-C₈alkyl ether, (C₆-C₁₀aryl)C₀-C₈alkyl, (5- to 10-membered heterocycle)C₀-C₈alkyl and -(SO₂)C₁-C₈alkyl, each of which is substituted with from 0 to 4 substituents independently chosen from R_b.

56. A compound or form thereof according to claim 55, wherein R₃ and R₄ are independently selected from (i) hydrogen and (ii) C₁-C₈alkyl, C₂-C₈alkenyl, phenylC₀-C₄alkyl, indanylC₀-C₄alkyl, (5- to 6-membered heteroaryl)C₀-C₄alkyl and (5- to 7-membered heterocycloalkyl)C₀-C₄alkyl, each of which is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, amino, C₁-C₆alkyl, haloC₁-C₆alkyl, C₁-C₆alkoxy and haloC₁-C₆alkoxy.

57. A compound or form thereof according to claim 56, wherein R₃ and R₄ are independently selected from hydrogen, C₁-C₆alkyl, C₂-C₆alkenyl, (5- to 7-membered

heterocycle)C₀-C₄alkyl, C₂-C₆alkyl ether, indanyl, benzyl, 1-phenyl-ethyl, 1-phenyl-propyl and 2-phenyl-ethyl, each of which substituted with from 0 to 3 substituents independently selected from hydroxy, halogen and C₁-C₄alkyl, with the proviso that at least one of R₃ and R₄ is not hydrogen.

58. A compound or form thereof according to claim 41 or claim 54, wherein one of R₃ or R₄ is taken together with an R₅ or R₆ to form a 4- to 10-membered heterocyclic group that is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, C₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy, haloC₁-C₄alkoxy, C₁-C₄alkanoyl, C₁-C₄alkoxycarbonyl, aminocarbonyl and (4- to 10-membered heterocycle)C₀-C₈alkyl.

59. A compound or form thereof according to claim 41 or claim 54, wherein R₃ and R₄ are taken together to form a 4- to 10-membered heterocycle that is substituted with from 0 to 4 substituents independently selected from hydroxy, halogen, aminocarbonyl, C₁-C₄alkyl, hydroxyC₁-C₄alkyl, haloC₁-C₄alkyl, C₁-C₄alkoxy, haloC₁-C₄alkoxy, C₁-C₄alkanoyl, C₂-C₄alkoxycarbonyl, aminocarbonyl and (4- to 7-membered heterocycle)C₀-C₈alkyl.

60. A compound or form thereof according to claim 59, wherein the 4- to 10-membered heterocycle is morpholinyl, piperidinyl, piperazinyl, pyrrolidinyl or thiomorpholinyl.

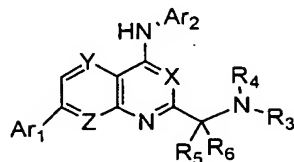
61. A compound or form thereof according to claim 41 or claim 54, wherein each R₅ and R₆ is independently selected from hydrogen and C₁-C₄alkyl.

62. A compound or form thereof according to claim 61, wherein each R₅ and R₆ is hydrogen.

63. A compound or form thereof according to claim 41 or claim 54, wherein one R₅ and one R₆ attached to the same carbon atom are taken together to form a keto group.

64. A compound or form thereof according to claim 41 or claim 54, wherein n is 1.

65. A compound or form thereof according to claim 30, having the formula:



wherein:

Ar₁ is phenyl or pyridyl, unsubstituted or substituted with halogen, cyano, C₁-C₄alkyl or haloC₁-C₄alkyl;

Ar₂ is phenyl or pyridyl, unsubstituted or substituted with C₁-C₄alkyl, cyanoC₁-C₄alkyl, haloC₁-C₄alkyl, C₂-C₆alkyl ether or a group of the formula -(SO₂)R_d, wherein R_d is C₁-C₄alkyl or haloC₁-C₄alkyl;

R₃ and R₄ are:

(a) independently selected from:

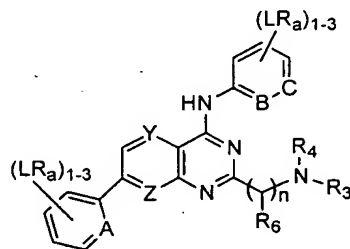
(i) hydrogen; and

(ii) C₁-C₆alkyl, C₂-C₆alkenyl, (5- to 7-membered heterocycle)C₀-C₄alkyl, C₂-C₆alkyl ether, indanyl, benzyl, 1-phenyl-ethyl, 1-phenyl-propyl and 2-phenyl-ethyl, each of which is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; or

(b) taken together to form a 5- to 7-membered heterocycloalkyl that is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; and

R₅ and R₆ are independently selected from hydrogen and C₁-C₄alkyl.

66. A compound or form thereof according to claim 54, having the formula:



wherein:

A, B, C, Y and Z are each independently CH or N;

R₃ and R₄ are:

(a) independently selected from:

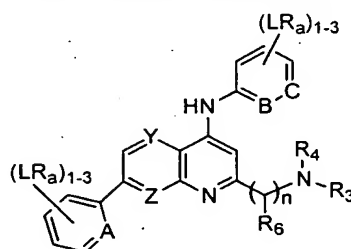
(i) hydrogen; and

(ii) C₁-C₆alkyl, C₂-C₆alkenyl, (5- to 7-membered heterocycle)C₀-C₄alkyl, C₂-C₆alkyl ether, indanyl, benzyl, 1-phenyl-ethyl, 1-phenyl-propyl and 2-phenyl-ethyl, each of which is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; or

(b) taken together to form a 5- to 7-membered heterocycloalkyl that is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; and

each R₆ is independently hydrogen or methyl.

67. A compound or form thereof according to claim 54, having the formula:



wherein:

A, B, C, Y and Z are each independently CH or N;

R₃ and R₄ are:

(a) independently selected from:

(i) hydrogen; and

(ii) C₁-C₆alkyl, C₂-C₆alkenyl, (5- to 7-membered heterocycle)C₀-C₄alkyl, C₂-C₆alkyl ether, indanyl, benzyl, 1-phenyl-ethyl, 1-phenyl-propyl and 2-phenyl-ethyl, each of which is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; or

(b) taken together to form a 5- to 7-membered heterocycloalkyl that is substituted with from 0 to 3 substituents independently selected from hydroxy, cyano, halogen, C₁-C₄alkyl and haloC₁-C₄alkyl; and

each R₆ is independently hydrogen or methyl.

68: A compound or form thereof according to claim 30, wherein the compound is selected from compounds listed in Table III.

69. A compound or form thereof according to any one of claims 1, 14 or 41, wherein the compound has an IC_{50} value of 100 nanomolar or less in a capsaicin receptor calcium mobilization assay.

70. A compound or form thereof according to any one of claims 1, 14 or 41, wherein the compound has an IC_{50} value of 10 nanomolar or less in a capsaicin receptor calcium mobilization assay.

71. A pharmaceutical composition, comprising at least one compound or form thereof according to any one of claims 1, 14 or 41, in combination with a physiologically acceptable carrier or excipient.

72. A pharmaceutical composition according to claim 71 wherein the composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup or a transdermal patch.

73. A method for reducing calcium conductance of a cellular capsaicin receptor, comprising contacting a cell expressing a capsaicin receptor with at least one compound or form thereof according to any one of claims 1, 14 or 41, and thereby reducing calcium conductance of the capsaicin receptor.

74. A method according to claim 73, wherein the cell is a neuronal cell that is contacted *in vivo* in an animal.

75. A method according to claim 74, wherein during contact the compound is present within a body fluid of the animal.

76. A method according to claim 74, wherein the compound is present in the blood of the animal at a concentration of 1 micromolar or less.

77. A method according to claim 76, wherein the compound is present in the blood of the animal at a concentration of 500 micromolar or less.

78. A method according to claim 77, wherein the compound is present in the blood of the animal at a concentration of 100 micromolar or less.

79. A method according to claim 74, wherein the animal is a human.

80. A method according to claim 74, wherein the compound is administered orally.

81. A method for inhibiting binding of vanilloid ligand to a capsaicin receptor *in vitro*, the method comprising contacting capsaicin receptor with at least one compound or form thereof according to any one of claims 1, 14 or 41, under conditions and in an amount sufficient to detectably inhibit vanilloid ligand binding to capsaicin receptor.

82. A method for inhibiting binding of vanilloid ligand to capsaicin receptor in a patient, comprising contacting cells expressing capsaicin receptor with at least one compound or form thereof according to any one of claims 1, 14 or 41, in an amount sufficient to detectably inhibit vanilloid ligand binding to cells expressing a cloned capsaicin receptor *in vitro*, and thereby inhibiting binding of vanilloid ligand to the capsaicin receptor in the patient.

83. A method according to claim 82, wherein the patient is a human.

84. A method according to claim 82, wherein the compound is present in the blood of the patient at a concentration of 1 micromolar or less.

85. A method for treating a condition responsive to capsaicin receptor modulation in a patient, comprising administering to the patient a capsaicin receptor modulatory amount of at least one compound or form thereof according to any one of claims 1, 14 or 41, and thereby alleviating the condition in the patient.

86. A method according to claim 85, wherein the patient is suffering from (i) exposure to capsaicin, (ii) burn or irritation due to exposure to heat, (iii) burns or irritation due to exposure to light, (iv) burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or (v) burn or irritation due to exposure to acid.

87. A method according to claim 85, wherein the condition is asthma or chronic obstructive pulmonary disease.

88. A method for treating pain in a patient, comprising administering to a patient suffering from pain a capsaicin receptor modulatory amount of at least one compound or form thereof according to any one of claims 1, 14 or 41, and thereby alleviating pain in the patient.

89. A method according to claim 88, wherein the compound is present in the blood of the patient at a concentration of 1 micromolar or less.

90. A method according to claim 89, wherein the compound is present in the blood of the patient at a concentration of 500 nanomolar or less.

91. A method according to claim 89, wherein the compound is present in the blood of the patient at a concentration of 100 nanomolar or less.

92. A method according to claim 88, wherein the patient is suffering from neuropathic pain.

93. A method according to claim 88, wherein the pain is associated with a condition selected from: postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, toothache, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, neuritis, neuronitis, neuralgia, AIDS-related neuropathy, MS-related neuropathy, spinal cord injury-related pain, surgery-related pain, musculoskeletal pain, back pain, headache, migraine, angina, labor, hemorrhoids, dyspepsia, Charcot's pains, intestinal gas, menstruation, cancer, venom exposure, irritable bowel syndrome, inflammatory bowel disease and trauma.

94. A method according to claim 88, wherein the patient is a human.

95. A method for treating itch in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or form thereof according to any one of claims 1, 14 or 41, and thereby alleviating itch in the patient.

96. A method for treating cough or hiccup in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or form thereof according to any one of claims 1, 14 or 41, and thereby alleviating cough or hiccup in the patient.

97. A method for treating urinary incontinence in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or form thereof according to any one of claims 1, 14 or 41, and thereby alleviating urinary incontinence in the patient.

98. A method promoting weight loss in an obese patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or form thereof according to any one of claims 1, 14 or 41, and thereby promoting weight loss in the patient.

99. A compound or form thereof according to any one of claims 1, 14 or 41, wherein the compound or form thereof is radiolabeled.

100. A method for determining the presence or absence of capsaicin receptor in a sample, comprising the steps of:

- (a) contacting a sample with a compound or form thereof according to any one of claims 1, 14 or 41, under conditions that permit binding of the compound to capsaicin receptor; and
- (b) detecting a level of the compound bound to capsaicin receptor, and therefrom determining the presence or absence of capsaicin receptor in the sample.

101. A method according to claim 100, wherein the compound is a radiolabeled compound according to claim 99, and wherein the step of detection comprises the steps of:

- (i) separating unbound compound from bound compound; and
- (ii) detecting the presence or absence of bound compound in the sample.

102. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 71 in a container; and
- (b) instructions for using the composition to treat pain.

103. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 71 in a container; and
- (b) instructions for using the composition to treat cough or hiccup.

104. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 71 in a container; and
- (b) instructions for using the composition to treat obesity.

105. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 71 in a container; and
- (b) instructions for using the composition to treat urinary incontinence.

106. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from a condition responsive to capsaicin receptor modulation.

107. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from a condition responsive to capsaicin receptor modulation selected from (i) exposure to capsaicin, (ii) burn or irritation due to exposure to heat, (iii) burns or irritation due to exposure to light, (iv) burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or (v) burn or irritation due to exposure to acid.

108. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from to pain.

108. Use of a compound according to claim 1 as a medicament for the treatment of a patient suffering from neuropathic pain associated with a condition selected from: postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, toothache, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, neuritis, neuronitis, neuralgia, AIDS-related neuropathy, MS-related neuropathy, spinal cord injury-related pain, surgery-related pain, musculoskeletal pain, back pain, headache, migraine, angina, labor, hemorrhoids, dyspepsia, Charcot's pains, intestinal gas, menstruation, cancer, venom exposure, irritable bowel syndrome, inflammatory bowel disease and trauma.